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PATENT COOPERATION TREATY

PCT/EP2003/009006



Translation

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Rec'd PCT/PTO 25 AUG 2005

Applicant's or agent's file reference 30616P WO	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/EP2003/009006	International filing date (day/month/year) 13 August 2003 (13.08.2003)	Priority date (day/month/year) 14 August 2002 (14.08.2002)
International Patent Classification (IPC) or national classification and IPC C07C 227/08		
Applicant DEGUSSA AG		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of <u>5</u> sheets, including this cover sheet.
<input type="checkbox"/> This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).  These annexes consist of a total of _____ sheets.
3. This report contains indications relating to the following items: <ul style="list-style-type: none"> <li>I <input checked="" type="checkbox"/> Basis of the report</li> <li>II <input type="checkbox"/> Priority</li> <li>III <input type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</li> <li>IV <input type="checkbox"/> Lack of unity of invention</li> <li>V <input checked="" type="checkbox"/> Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</li> <li>VI <input type="checkbox"/> Certain documents cited</li> <li>VII <input type="checkbox"/> Certain defects in the international application</li> <li>VIII <input type="checkbox"/> Certain observations on the international application</li> </ul>

Date of submission of the demand 16 February 2004 (16.02.2004)	Date of completion of this report 25 May 2004 (25.05.2004)
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/EP2003/009006

## I. Basis of the report

## 1. With regard to the elements of the international application:\*

- ☐ the international application as originally filed
- ☒ the description:  
pages \_\_\_\_\_ 1-10 \_\_\_\_\_, as originally filed  
pages \_\_\_\_\_, filed with the demand  
pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☒ the claims:  
pages \_\_\_\_\_ 1-20 \_\_\_\_\_, as originally filed  
pages \_\_\_\_\_, as amended (together with any statement under Article 19  
pages \_\_\_\_\_, filed with the demand  
pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the drawings:  
pages \_\_\_\_\_, as originally filed  
pages \_\_\_\_\_, filed with the demand  
pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the sequence listing part of the description:  
pages \_\_\_\_\_, as originally filed  
pages \_\_\_\_\_, filed with the demand  
pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.  
These elements were available or furnished to this Authority in the following language \_\_\_\_\_ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

## 3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/fig \_\_\_\_\_

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).\*\*

\* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

\*\* Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/EP 03/09006

## V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

### 1. Statement

Novelty (N)	Claims	1-20	YES
	Claims		NO
Inventive step (IS)	Claims	1-20	YES
	Claims		NO
Industrial applicability (IA)	Claims	1-20	YES
	Claims		NO

### 2. Citations and explanations

This report makes reference to the following documents:

- D1: EP-A-0 808 826 (ROHM & HAAS) 26 November 1997 (1997-11-26), mentioned in the application
- D2: US-A-4 647 689 (MICINSKI EDWARD) 3 March 1987 (1987-03-03), mentioned in the application
- D3: EP-A-0 206 953 (RHONE POULENC SPEC CHIM) 30 December 1986 (1986-12-30), mentioned in the application.

#### 1.) Novelty:

The subject matter of claims 1-20 is regarded as novel, because none of the available prior art documents discloses a method for producing 3-amino-4,4,4-trifluorocrotonic acid esters of formula (I), wherein a trifluoroacetic acid alkyl ester is reacted with an acetic acid alkyl ester and an alkali metal alcoholate to form an enolate of a trifluoroacetoacetic acid ester, which then reacts, without further processing, directly with an amine in the presence of an acid to form the desired product.

#### 2.) Inventive step:

Independent claim 1 satisfies the criterion of inventive step for the following reasons:

D1 is regarded as the closest prior art document.

D1 describes a method for producing 3-amino-4,4,4-trifluorocrotonic acid esters of formula (I), wherein a trifluoroacetoacetic acid is reacted with an amine in the presence of an acid to form the desired product.

The method in D1 is based on the fact that an isolated trifluoroacetoacetic acid ester is used.

As is known from D2 and D3, purification of a trifluoroacetoacetic acid ester obtained by reacting a trifluoroacetic acid alkyl ester with an acetic acid alkyl ester and a base requires considerable effort, because the further processing (neutralization) and purification of the enolate obtained as a primary product are problematic.

In the method in claim 1, such a neutralization and further purification are not necessary, because the primarily formed enolate reacts directly with an amine to form the desired product.

Therefore, the problem to be solved by the application can be regarded as that of providing an improved method for producing 3-amino-4,4,4-trifluorocrotonic acid esters of formula (I) starting from a trifluoroacetic acid alkyl ester and an acetic acid alkyl ester.

Claim 1 solves the problem by reacting the enolate that was formed as a primary product, without further processing, directly with an amine in the presence of an acid to form the desired product.

Neither D1 alone nor D1 in combination with any other prior art document renders obvious such a solution.

Therefore, the subject matter of claim 1 is not regarded as obvious and, consequently, it satisfies the criterion

for inventive step.

Dependent claims 2-20 contain all of the features of claim 1 and therefore likewise satisfy the criterion for inventive step.

3.) Industrial applicability:

The 3-amino-4,4,4-trifluorocrotonic acid esters of formula (I) obtained according to claims 1-20 can serve as intermediate products in the production of plant protective agents. Therefore, claims 1-20 satisfy the criterion of industrial applicability.